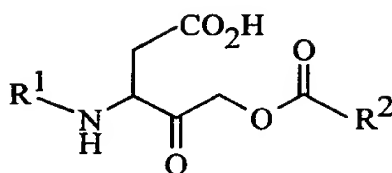


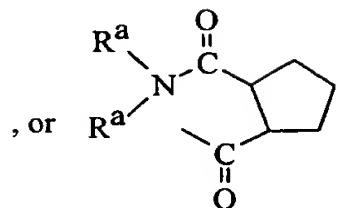
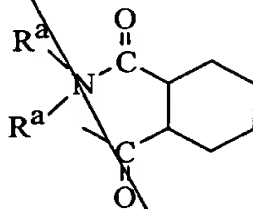
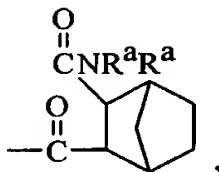
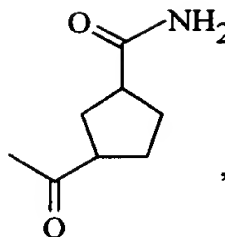
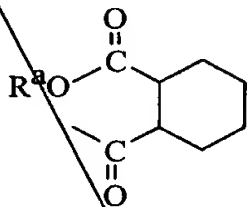
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CLAIMS

A compound of the Formula I



I

wherein R^1 is $\text{R}^3\text{OC}(=\text{O})-$,
 $\text{R}^3\text{CO}-$,
 R^3SO_2- ,
 $\begin{array}{c} \text{R}^a \\ | \\ \text{R}^5\text{NCHR}^6\text{C}(=\text{O})- \end{array}$



each R^a is independently hydrogen, $\text{C}_1\text{-C}_6$ alkyl, or $-(\text{CH}_2)_n$ aryl;

R^2 is $-(\text{CRR})_n\text{-aryl}$,
 $-(\text{CRR})_n\text{-X-aryl}$,
 $-(\text{CRR})_n\text{-heteroaryl}$,
 $-(\text{CRR})_n\text{-X-heteroaryl}$,

5

$$-(\text{CRR})_n\text{-aryl-aryl},$$
$$-(\text{CRR})_n\text{-aryl}-(\text{CH}_2)_n\text{-aryl},$$
$$-(\text{CRR})_n-\text{CH}(\text{aryl})_2,$$

-(CRR)_n-cycloalkyl,

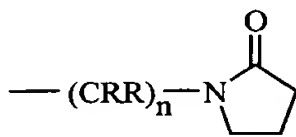
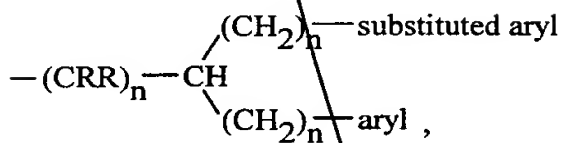
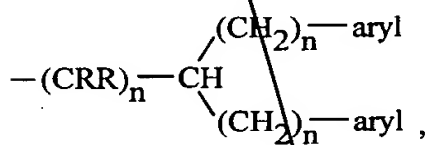
$$-(\text{CRR})_n\text{-X-cycloalkyl},$$

10

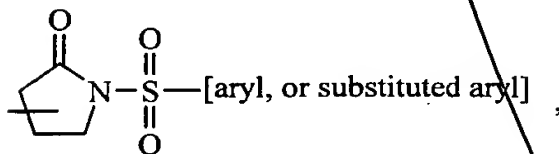
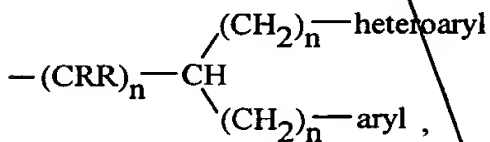
~~-(CRR)_n-heterocycle,~~

$$-(\text{CRR})_n\text{-X-heterocycle},$$

~~-(CRR)_n substituted heterocycle,~~



15

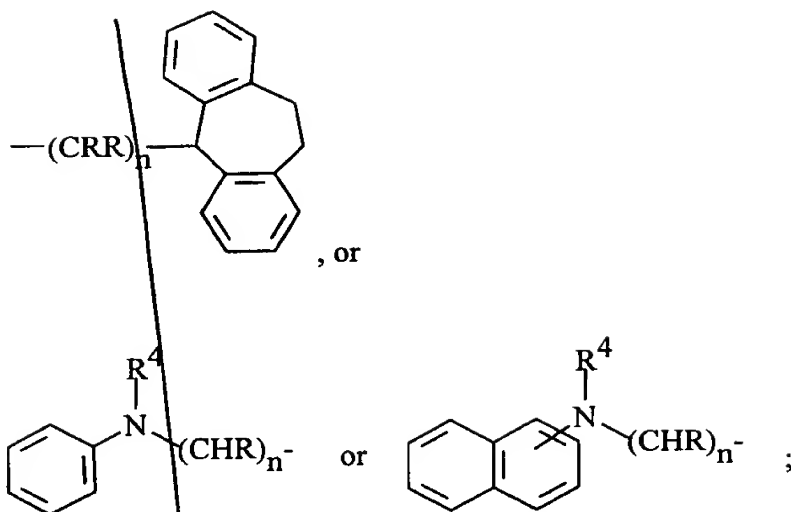


~~$$\begin{aligned}
 & \text{—} \text{Cyclopentyl-CO—} \text{CO}(\text{CH}_2)_n \text{—} [\text{aryl, or substituted aryl}] , \\
 & \text{—} \text{Cyclopentyl-CO—} \text{aryl} , \\
 & \text{—}(\text{CRR})_n \text{—} \text{1,2,3,4-tetrahydronaphthalen-1-one} , \\
 & \text{—} \text{Cyclopentyl-CO—O}(\text{CH}_2)_n \text{aryl} , \\
 & \text{—}(\text{CRR})_n \text{—N—1,2,3,4-tetrahydronaphthalen-1-one} , \\
 & \text{—}(\text{CRR})_n \text{CH}(\text{aryl})(\text{NH aryl}) , \\
 & \text{—}(\text{CRR})_n \text{—} \text{1,2,3,4,5,6-hexahydronaphthalene} , \\
 & \text{—}(\text{CRR})_n \text{—} \text{1,2,3,4,5,6,7,8-octahydronaphthalene} , \\
 & \text{—C}(\text{CRR})_n \text{—N—1,2,3,4-tetrahydronaphthalen-1-one} ,
 \end{aligned}$$~~

5

[illegible]

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each R is independently hydrogen, C₁-C₆ alkyl, halogen or hydroxy;

X is O or S;

R³ is C₁-C₆ alkyl,

aryl,

heteroaryl,

$-(CHR)_n$ -aryl,

$-(CHR)_n$ -heteroaryl,

$-(CHR)_n$ -substituted heteroaryl,

$-(CHR)_n$ -substituted aryl,

$-(CRR)_n \overset{\text{O}}{\parallel} COR^a$,

$-(CRR)_n S(CH_2)_n$ -aryl,

cycloalkyl,

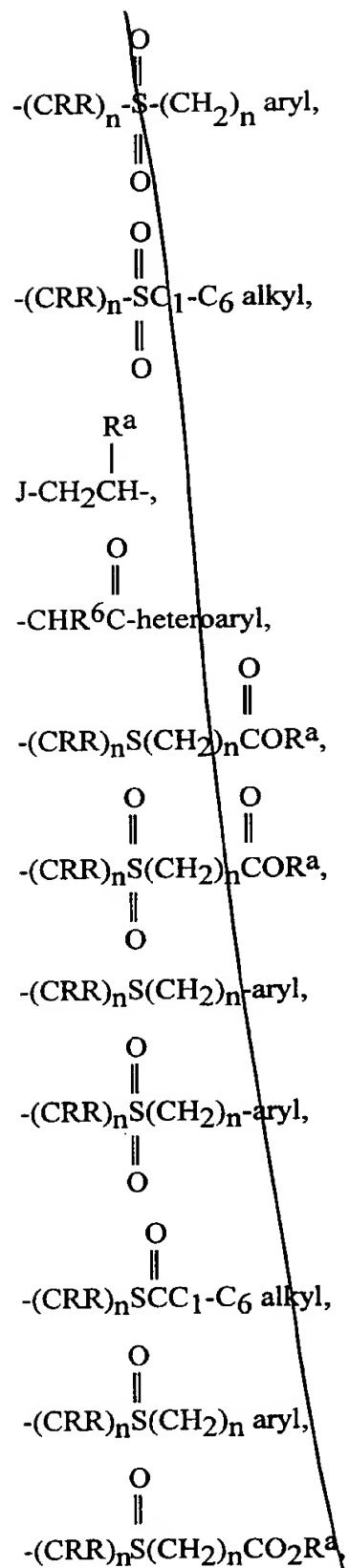
substituted cycloalkyl,

heterocycle,

substituted heterocycle,

$-(CRR)_n \overset{\text{O}}{\parallel} CNR^aR^a$,

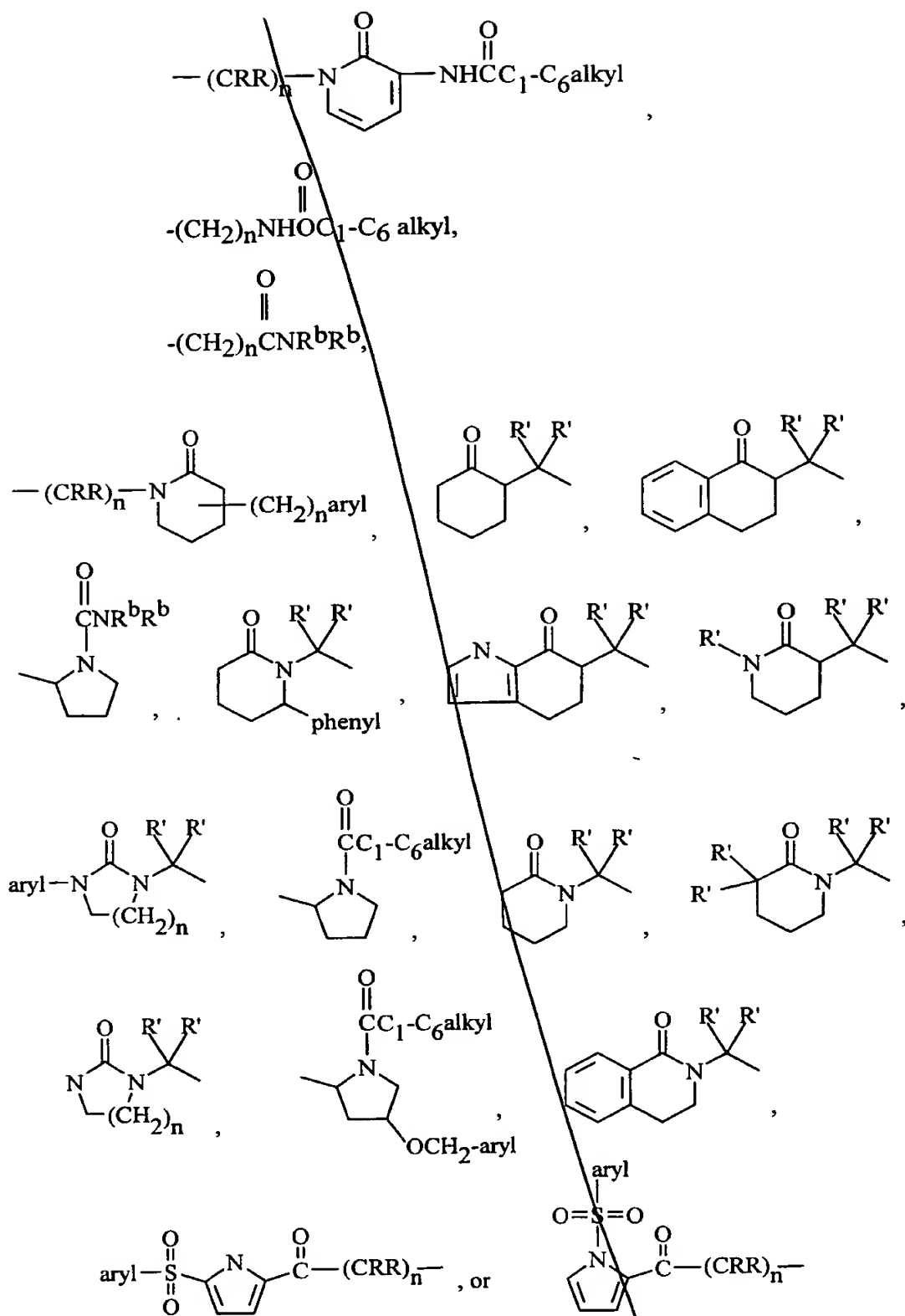
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each R' is independently C₁-C₆ alkyl,

C₁-C₆ alkylaryl,

aryl, or

hydrogen;

5 each J is independently

-CO₂R^b,

-CONR^bR^b,

-SO₂NR^bR^b, or

-SO₂R^b;

10 each R^b is independently hydrogen, C₁-C₆ alkyl, aryl, substituted aryl;

arylalkyl, heteroarylalkyl, substituted arylalkyl, or substituted
heteroarylalkyl;

R⁴ is hydrogen,

C₁-C₆ alkyl,

15

$\begin{array}{c} \text{O} \\ \parallel \\ \text{CH}_3\text{OC}- \end{array}$,

-phenyl, or

20

$\begin{array}{c} \text{O} \\ \parallel \\ \text{C}_1\text{-C}_6\text{ alkyl C}- \end{array}$;

R⁵ is C₁-C₆ alkyl-CO-,

-(CH₂)_n aryl,

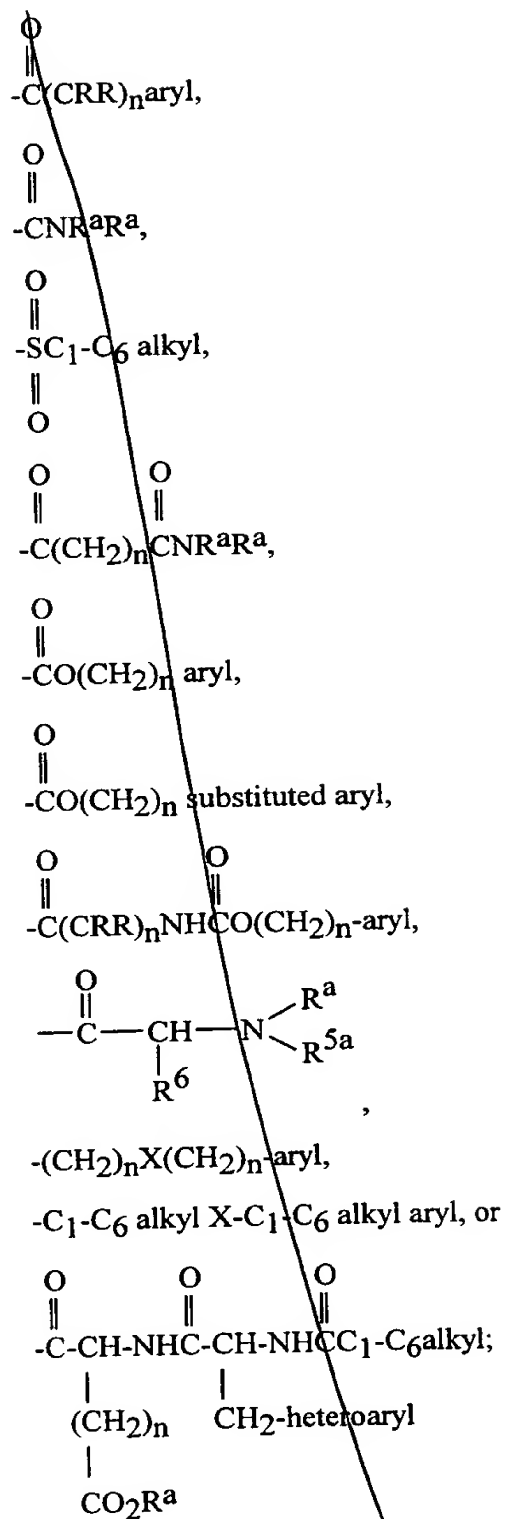
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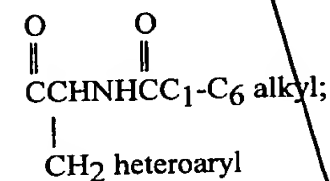
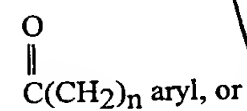
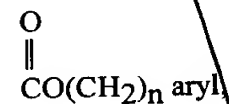
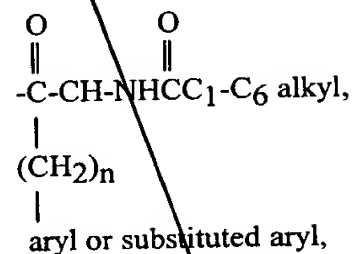
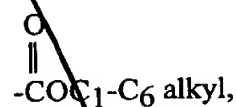
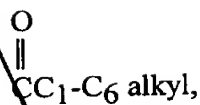
$\begin{array}{c} \text{O} \\ \parallel \\ \text{C}_1\text{-C}_6\text{-alkylOC}- \end{array}$,

C₁-C₆-alkyl-X-(CH₂)_nCO,

30

$\begin{array}{c} \text{O} \\ \parallel \\ \text{C}_1\text{-C}_6\text{-alkyl-X-(CH}_2\text{)}_n\text{OC}- \end{array}$,



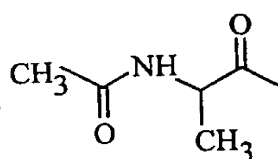
R^{5a} isR⁶ is hydrogen,C₁-C₆ alkyl, -(CH₂)_n aryl, -(CH₂)_nCO₂R^a, hydroxyl substitutedC₁-C₆ alkyl, or imidazole substituted C₁-C₆ alkyl;

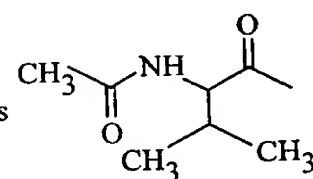
each n is independently 0 to 3, and the pharmaceutically acceptable, salts, esters, amides, and prodrugs thereof.

2. A compound according to Claim 1 wherein R¹ is phenyl-CH₂-OC-

3. A compound according to Claim 1 wherein R¹ is phenyl-SO₂-.

4. A compound according to Claim 1 wherein R¹ is CH₃-OC(=O)-.
5. A compound according to Claim 1 wherein R¹ is phenyl-CH₂CH₂-CO-.

- 5 6. A compound according to Claim 1 wherein R¹ is 

7. A compound according to Claim 1 wherein R¹ is 

8. A compound according to Claim 1 wherein R¹ is phenyl-CH₂-CO-.

9. ~~A compound according to Claim 1 wherein R¹ is ~~

10. A compound according to Claim 1 wherein each R^a is hydrogen.

- 10 11. A compound according to Claim 1 wherein R² is -(CH₂)_n-phenyl.

12. A compound according to Claim 1 wherein R² is -(CH₂)_n-naphthyl.

13. A compound according to Claim 1 wherein R² is -(CH₂)_n-O-phenyl.

14. A compound according to Claim 1 wherein R² is -(CH₂)_n-O-naphthyl.

15. A compound according to Claim 1 wherein R² is -(CH₂)_n-S-phenyl.

16. A compound according to Claim 1 wherein R^2 is $-(CH_2)_n-CH(phenyl)_2$.

Subt C2 5
17. A compound according to Claim 1 wherein each R^a is hydrogen; R^1 is benzyloxycarbonyl; R^2 is aryl- $X(CRR)_n$, aryl- $(CRR)_n$, heteroaryl- $(CRR)_n$, or cycloalkyl- $(CRR)_n$; n is 1, 2, or 3; X is O or S; and R is hydrogen, methyl, or benzyl.

18. A compound according to Claim 1 wherein each R^a is hydrogen; R^1 is benzyloxycarbonyl; and R^2 is $-(CH_2)_n$ -naphthyl,

$-(CH_2)_n$ -phenyl,

$-(CH_2)_n$ -cycloalkyl,

$-(CH_2)_nO(CH_2)_n$ -naphthyl,

$-(CH_2)_nO(CH_2)_n$ -phenyl, or

$-(CH_2)_nS(CH_2)_n$ -phenyl.

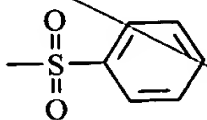
19. A compound according to Claim 1 wherein each R^a is hydrogen;

R^1 is benzyloxycarbonyl; and

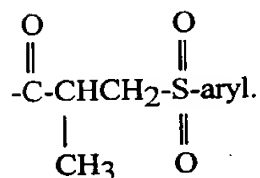
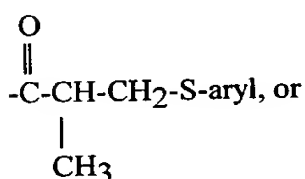
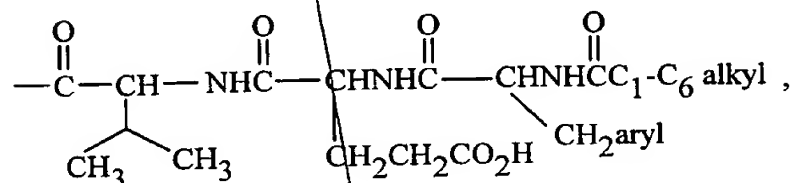
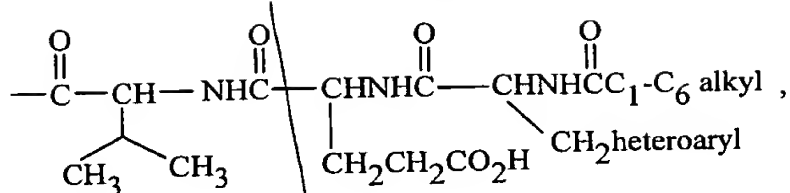
R^2 is $-CH_2$ -naphthyl.

20. A compound in accordance with Claim 1 wherein each R^a is hydrogen;

R^2 is benzyloxycarbonyl,



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21. A method of inhibiting interleukin-1 β converting enzyme, the method comprising administering to a patient in need of inhibition of interleukin-1 β converting enzyme a therapeutically effective amount of a compound of Claim 1.
22. A method of inhibiting Caspase-4, the method comprising administering to a patient in need of inhibition of Caspase-4 inhibition a Caspase-4 inhibiting amount of a compound of Claim 1.
23. A method of treating stroke, the method comprising administering to a patient having a stroke or having had a stroke a therapeutically effective amount of a compound of Claim 1.

24. A method of treating inflammatory diseases, the method comprising administering to a patient having an inflammatory disease a therapeutically effective amount of a compound of Claim 1.
25. The method of Claim 24 wherein the inflammatory disease is arthritis.
- 5 26. The method of Claim 24 wherein the inflammatory disease inflammatory bowel disease.
27. A method of treating reperfusion injury, the method of comprising administering to a patient having reperfusion injury a therapeutically effective amount of a compound of Claim 1.
- 10 28. A method of treating Alzheimer's disease, the method comprising administering to a patient having Alzheimer's disease a therapeutically effective amount of a compound of Claim 1.
29. A method of treating shigellosis, the method comprising administering to a patient having shigellosis a therapeutically effective amount of a compound of Claim 1.
- 15 30. A pharmaceutically acceptable composition that contains a compound of Claim 1.
31. The compounds:
- 20 3-Benzyloxycarbonylamino-5-(naphthalen-1-yl-acetoxy)-4-oxo-pentanoic acid;
- 3-Benzyloxycarbonylamino-4-oxo-5-(3-phenyl-propionyloxy)-pentanoic acid;
- 3-Benzyloxycarbonylamino-5-(3-cyclohexyl-propionyloxy)-4-oxo-pentanoic acid;
- 25 3-Benzyloxycarbonylamino-5-[(naphthalene-1-yl-oxy)-acetoxy]-4-oxo-pentanoic acid;

- 3-Benzyloxycarbonylamino-4-oxo-5-phenoxyacetoxy-pentanoic acid;
- 3-Benzyloxycarbonylamino-4-oxo-5-phenylsulfanylacetoxy-pentanoic acid;
- 5 3-Benzyloxycarbonylamino-5-[(6-methoxy-naphthalene-1-yl)-acetoxy]-4-oxo-pentanoic acid;
- 3-Benzyloxycarbonylamino-5-(naphthalene-2-yl-acetoxy)-4-oxo-pentanoic acid;
- 10 3-Benzyloxycarbonylamino-5-(3-naphthalene-2-yl-propionyloxy)-4-oxo-pentanoic acid;
- 3-Benzyloxycarbonylamino-5-(3,3-diphenyl-propionyloxy)-4-oxo-pentanoic acid;
- 3-Benzyloxycarbonylamino-5-[(1H-indol-3-yl)-acetoxy]-4-oxo-pentanoic acid;
- 15 3-Benzyloxycarbonylamino-5-(indol-1-yl-acetoxy)-4-oxo-pentanoic acid;
- 3-Benzyloxycarbonylamino-5-(2-naphthalene-1-yl-propionyloxy)-4-oxo-pentanoic acid;
- 20 3-Benzyloxycarbonylamino-4-oxo-5-[(2-oxo-pyrrolidin-1-yl)-acetoxy]-pentanoic acid;
- 5-[(Acetyl-phenyl-amino)-acetoxy]-3-benzyloxycarbonyl-amino-4-oxo-pentanoic acid;
- 3-Benzyloxycarbonylamino-5-(2-benzyl-3-phenyl-propionyloxy)-4-oxo-pentanoic acid;
- 25 3-Benzyloxycarbonylamino-5-(hydroxy-naphthalene-1-yl-acetoxy)-4-oxo-pentanoic acid;
- 3-Benzyloxycarbonylamino-4-oxo-5-[(phenyl-amino)-acetoxy]-pentanoic acid;
- 3-Benzyloxycarbonylamino-5-[(6-hydroxy-naphthalene-1-yl)-acetoxy]-4-oxo-pentanoic acid;
- 30 3-Benzyloxycarbonylamino-5-[3-(4-hydroxy-phenyl)-2-naphthalene-1-yl-propionyloxy]-4-oxo-pentanoic acid;

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- (S)-3-Benzylloxycarbonylamino-4-oxo-5-phenylacetoxy-pentanoic acid;
- (S)-3-Benzylloxycarbonylamino-4-oxo-5-(4-phenyl-butyryloxy)-pentanoic acid;
- 5 3-Benzylloxycarbonylamino-4-oxo-5-[(4-phenyl-naphthalen-1-yl)-acetoxy]-pentanoic acid;
- 3-Benzylloxycarbonylamino-5-[(4-methyl-naphthalen-1-yl)-acetoxy]-4-oxo-pentanoic acid;
- 3-Benzylloxycarbonylamino-4-oxo-5-[(4-thiophen-2-yl-naphthalen-1-yl)-acetoxy]-pentanoic acid;
- 10 3-Benzylloxycarbonylamino-5-[(4-fluoro-naphthalen-1-yl)-acetoxy]-4-oxo-pentanoic acid;
- 3-Benzylloxycarbonylamino-5-[(2-methyl-naphthalen-1-yl)-acetoxy]-4-oxo-pentanoic acid;
- 15 3-Benzylloxycarbonylamino-5-[(2-fluoro-naphthalen-1-yl)-acetoxy]-4-oxo-pentanoic acid;
- 5-(Benzofuran-4-yl-acetoxy)-3-benzylloxycarbonylamino-4-oxo-pentanoic acid;
- 5-(Benzo[b]thiophen-7-yl-acetoxy)-3-benzylloxycarbonylamino-4-oxo-pentanoic acid;
- 20 5-(Benzo[b]thiophen-4-yl-acetoxy)-3-benzylloxycarbonylamino-4-oxo-pentanoic acid;
- 5-[(4-Benzyl-naphthalen-1-yl)-acetoxy]-3-benzylloxycarbonylamino-4-oxo-pentanoic acid;
- 25 3-Benzylloxycarbonylamino-5-[(3,4-dihydro-naphthalen-1-yl)-acetoxy]-4-oxo-pentanoic acid;
- 3-Benzylloxycarbonylamino-5-[(5-bromo-1H-indol-3-yl)-acetoxy]-4-oxo-pentanoic acid;
- 3-Benzylloxycarbonylamino-5-(3,4-diphenyl-butyryloxy)-4-oxo-pentanoic acid;
- 30 3-Benzylloxycarbonylamino-4-oxo-5-(3-phenyl-3-phenylamino-propionyloxy)-pentanoic acid;

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3-Benzyloxycarbonylamino-4-oxo-5-[(1,2,3,4-tetrahydro-naphthalen-2-yl)-acetoxy]-pentanoic acid;

3-Benzyloxycarbonylamino-5-[(1-methanesulfonyl-piperidin-4-yl)-acetoxy]-4-oxo-pentanoic acid;

5 3-Benzyloxycarbonylamino-4-oxo-5-[(2,3,5,6-tetramethyl-phenyl)-acetoxy]-pentanoic acid;

5-(Benzothiazol-4-yl-acetoxy)-3-benzyloxycarbonylamino-4-oxo-pentanoic acid;

10 5-(Benzofuran-3-yl-acetoxy)-3-benzyloxycarbonylamino-4-oxo-pentanoic acid;

5-(Benzo[b]thiophen-3-yl-acetoxy)-3-benzyloxycarbonylamino-4-oxo-pentanoic acid;

3-Benzyloxycarbonylamino-4-oxo-5-(3-phenyl-3-pyridin-2-yl-propionyloxy)-pentanoic acid;

15 3-Benzyloxycarbonylamino-5-[(2,3-dichloro-phenyl)-acetoxy]-4-oxo-pentanoic acid;

3-Benzyloxycarbonylamino-5-[(5-methyl-naphthalen-1-yl)-acetoxy]-4-oxo-pentanoic acid;

20 3-Benzyloxycarbonylamino-5-[(2-iodo-phenyl)-acetoxy]-4-oxo-pentanoic acid;

3-Benzyloxycarbonylamino-4-oxo-5-(3-pyridin-3-yl-propionyloxy)-pentanoic acid;

3-Benzyloxycarbonylamino-5-[(5-methoxy-naphthalen-1-yl)-acetoxy]-4-oxo-pentanoic acid;

25 3-Benzyloxycarbonylamino-5-[(8-methyl-naphthalen-1-yl)-acetoxy]-4-oxo-pentanoic acid;

3-Benzyloxycarbonylamino-5-[(9H-fluoren-9-yl)-acetoxy]-4-oxo-pentanoic acid;

30 3-Benzyloxycarbonylamino-5-[(10,11-dihydro-5H-dibenzo[a,d]cyclohepten-5-yl)-acetoxy]-4-oxo-pentanoic acid;

5-Oxo-1-(toluene-4-sulfonyl)-pyrrolidine-2-carboxylic acid
3-benzyloxycarbonylamino-4-carboxy-2-oxo-butyl ester;

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5-Oxo-pyrrolidine-1,2-dicarboxylic acid 1-benzyl ester 2-(3-benzyloxycarbonylamino-4-carboxy-2-oxo-butyl) ester;

1-Benzoyl-pyrrolidine-2-carboxylic acid

3-benzyloxycarbonylamino-4-carboxy-2-oxo-butyl ester;

5

Pyrrolidine-1,2-dicarboxylic acid 1-benzyl ester 2-(3-benzyloxycarbonylamino-4-carboxy-2-oxo-butyl) ester;

3-Benzoyloxycarbonylamino-5-(2-benzyl-3-phenyl-propionyloxy)-4-oxo-pentanoic acid;

10

3-Benzoyloxycarbonylamino-5-[(5-cyano-naphthalen-1-yl)-acetoxy]-4-oxo-pentanoic acid;

3-Benzoyloxycarbonylamino-4-oxo-5-(3-phenyl-3-pyridin-3-yl-propionyloxy)-pentanoic acid;

3-Benzoyloxycarbonylamino-4-oxo-5-(3-phenyl-3-pyridin-4-yl-propionyloxy)-pentanoic acid; and

15

3-Benzoyloxycarbonylamino-4-oxo-5-[(1-oxo-3,4-dihydro-1H-isoquinolin-2-yl)-acetoxy]-pentanoic acid.

32. The compounds:

3-Benzenesulfonylamino-5-(naphthalen-1-yl-acetoxy)-4-oxo-pentanoic acid;

3-Methoxycarbonylamino-5-(naphthalene-1-yl-acetoxy)-4-oxo-pentanoic acid;

5-(Naphthalene-1-yl-acetoxy)-4-oxo-3-(3-phenyl-propionylamino)-pentanoic acid;

3-Methoxycarbonylamino-4-oxo-5-phenoxyacetoxy-pentanoic acid;

25

and

3-(2-Methanesulfonyl-1-methyl-ethylsulfanylamino)-5-(naphthalen-1-yl-acetoxy)-4-oxo-pentanoic acid.

33. The compounds:

[S-(R*,R*)]-3-(2-Acetylamino-propionylamino)-5-(naphthalene-1-yl-acetoxy)-4-oxo-pentanoic acid;

30

5-(Naphthalen-1-yl-acetoxy)-4-oxo-3-[(thiophene-3-carbonyl)-amino]-pentanoic acid;

3-[(Furan-3-carbonyl)-amino]-5-(naphthalen-1-yl-acetoxy)-4-oxo-pentanoic acid;

5 5-(Naphthalen-1-yl-acetoxy)-4-oxo-3-[2-(4-phenyl-butyrylamino)-propylamino]-pentanoic acid;

3-(2-Methanesulfonylamino-propionylamino)-5-(naphthalen-1-yl-acetoxy)-4-oxo-pentanoic acid;

10 3-[2-(2-Acetyl-amino-4-phenyl-butyrylamino)-propionylamino]-5-(naphthalen-1-yl-acetoxy)-4-oxo-pentanoic acid;

3-(2-Acetyl-amino-butyrylamino)-5-(naphthalen-1-yl-acetoxy)-4-oxo-pentanoic acid;

3-[2-(4-Carbamoyl-butyrylamino)-propionylamino]-5-(naphthalen-1-yl-acetoxy)-4-oxo-pentanoic acid;

15 3-(2-Benzoyloxycarbonylamino-propionylamino)-5-(naphthalen-1-yl-acetoxy)-4-oxo-pentanoic acid;

5-(Naphthalen-1-yl-acetoxy)-4-oxo-3-(2-ureido-propionylamino)-pentanoic acid;

20 3-(2-Acetyl-amino-propionylamino)-5-(naphthalen-1-yl-acetoxy)-4-oxo-pentanoic acid;

3-[(1-Acetyl-pyrrolidine-2-carbonyl)-amino]-5-(naphthalen-1-yl-acetoxy)-4-oxo-pentanoic acid;

3-(2-Methyl-3-oxo-3-thiophen-2-yl-propionylamino)-5-(naphthalen-1-yl-acetoxy)-4-oxo-pentanoic acid;

25 3-(2-Acetyl-amino-acetyl-amino)-5-(naphthalen-1-yl-acetoxy)-4-oxo-pentanoic acid;

3-(2-Acetyl-amino-propionylamino)-5-(3,3-diphenyl-propionyloxy)-4-oxo-pentanoic acid;

30 3-[2-(2-Acetyl-amino-4-carboxy-butyrylamino)-propionylamino]-5-(naphthalen-1-yl-acetoxy)-4-oxo-pentanoic acid;

5-(Naphthalen-1-yl-acetoxy)-4-oxo-3-[2-(3-phenyl-propionylamino)-propionylamino]-pentanoic acid;

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3-[2-(3-Methyl-butyrylamino)-propionylamino]-5-(naphthalen-1-yl-acetoxy)-4-oxo-pentanoic acid;
3-[(1-Acetyl-4-benzyloxy-pyrrolidine-2-carbonyl)-amino]-5-(naphthalen-1-yl-acetoxy)-4-oxo-pentanoic acid;
3-(4-Carbamoyl-butyrylamino)-5-(naphthalen-1-yl-acetoxy)-4-oxo-pentanoic acid; and
3-[2-(1-Methyl-1H-imidazol-4-yl)-acetylamino]-5-(naphthalen-1-yl-acetoxy)-4-oxo-pentanoic acid.

The compounds:

(S)-5-(Naphthalene-1-yl-acetoxy)-4-oxo-3-phenylacetylamino-pentanoic acid;
(S)-5-(Naphthalene-1-yl-acetoxy)-4-oxo-3-(2-thiophene-2-yl-acetylamino)-pentanoic acid;
3-[(2-Carbamoyl-cyclopentanecarbonyl)-amino]-5-(naphthalen-1-yl-acetoxy)-4-oxo-pentanoic acid;
3-[(3-Carbamoyl-bicyclo[2.2.1]heptane-2-carbonyl)-amino]-5-(naphthalen-1-yl-acetoxy)-4-oxo-pentanoic acid;
3-(3-Methanesulfonyl-2-methyl-propionylamino)-5-(naphthalen-1-yl-acetoxy)-4-oxo-pentanoic acid;
3-(3-Benzenesulfonyl-2-methyl-propionylamino)-5-(naphthalen-1-yl-acetoxy)-4-oxo-pentanoic acid;
3-Butyrylamino-5-(naphthalen-2-yl-acetoxy)-4-oxo-pentanoic acid;
3-Acetylamino-5-(naphthalen-1-yl-acetoxy)-4-oxo-pentanoic acid;
3-(3-Methanesulfonyl-2-methyl-propionylamino)-5-(naphthalen-1-yl-acetoxy)-4-oxo-pentanoic acid;
3-(3-Methyl-butyrylamino)-5-(naphthalen-1-yl-acetoxy)-4-oxo-pentanoic acid;
3-(3-Carbamoyl-propionylamino)-5-(naphthalen-1-yl-acetoxy)-4-oxo-pentanoic acid;
[S-(R*,R*)]-3-(3-Acetylsulfonyl-2-methyl-propionylamino)-5-(naphthalen-1-yl-acetoxy)-4-oxo-pentanoic acid; and

trans-3-[(3-Carbamoyl-cyclopentanecarbonyl)-amino]-5-(naphthalen-1-yl-acetoxy)-4-oxo-pentanoic acid.

36. The compounds:

- 5 3-(1,2,3,4-tetrahydro-1-oxo-isoquinoline-2-yl)-acetamino-5-(naphthalene-1-yl acetoxy)-4-oxo-pentanoic acid;
- 3-(2-Methyl-3-phenethylcarbamoyl-propionylamino)-5-(naphthalen-1-yl-acetoxy)-4-oxo-pentanoic acid;
- 5-(Naphthalen-2-yl-acetoxy)-4-oxo-3-[2-(2-oxo-6-phenyl-piperidin-1-yl)-acetyl-amino]-pentanoic acid;
- 10 5-(Naphthalen-1-yl-acetoxy)-4-oxo-3-[2-(2-oxo-6-phenyl-piperidin-1-yl)-acetyl-amino]-pentanoic acid;
- 3-[3-Methyl-2-(3-phenyl-propionylamino)-butyrylamino]-4-oxo-5-[(1-oxo-1,2,3,4-tetrahydro-naphthalen-2-yl)-acetoxy]-pentanoic acid;
- 15 5-(Naphthalen-2-yl-acetoxy)-4-oxo-3-[2-(1-oxo-3,4-dihydro-1H-isoquinolin-2-yl)-acetyl-amino]-pentanoic acid;
- 5-(2-Benzyl-3-phenyl-propionyloxy)-4-oxo-3-[2-(1-oxo-3,4-dihydro-1H-isoquinolin-2-yl)-acetyl-amino]-pentanoic acid;
- 5-(2-Benzyl-3-phenyl-propionyloxy)-4-oxo-3-[2-(2-oxo-6-phenyl-piperidin-1-yl)-acetyl-amino]-pentanoic acid;
- 20 5-(Naphthalen-1-yl-acetoxy)-4-oxo-3-[2-(1-oxo-1,2,3,4-tetrahydro-naphthalen-2-yl)-acetyl-amino]-pentanoic acid;
- 5-(Naphthalen-1-yl-acetoxy)-4-oxo-3-[2-(1-oxo-3,4-dihydro-1H-isoquinolin-2-yl)-propionylamino]-pentanoic acid;
- 5-(Naphthalen-2-yl-acetoxy)-4-oxo-3-[2-(1-oxo-3,4-dihydro-1H-isoquinolin-2-yl)-propionylamino]-pentanoic acid;
- 25 3-[4-(1-Benzenesulfonyl-1H-pyrrol-2-yl)-4-oxo-butyrylamino]-5-(naphthalen-1-yl-acetoxy)-4-oxo-pentanoic acid;
- 5-(2-Benzyl-3-phenyl-propionyloxy)-4-oxo-3-[2-(1-oxo-1,2,3,4-tetrahydro-naphthalen-2-yl)-acetyl-amino]-pentanoic acid;
- 30 5-(2-Benzyl-3-phenyl-propionyloxy)-4-oxo-3-[2-(1-oxo-3,4-dihydro-1H-isoquinolin-2-yl)-propionylamino]-pentanoic acid;

4-Oxo-3-[2-(1-oxo-3,4-dihydro-1H-isoquinolin-2-yl)-
propionylamino]-5-[(1-oxo-1,2,3,4-tetrahydro-naphthalen-2-yl)-acetoxy]-
pentanoic acid;

3-[4-(1-Benzenesulfonyl-1H-pyrrol-2-yl)-4-oxo-butyrylamino]-
5-(2-benzyl-3-phenyl-propionyloxy)-4-oxo-pentanoic acid;

4-Oxo-5-[(1-oxo-1,2,3,4-tetrahydro-naphthalen-2-yl)-acetoxy]-
3-[2-(1-oxo-1,2,3,4-tetrahydro-naphthalen-2-yl)-acetylamino]-pentanoic
acid;

5-(Naphthalen-1-yl-acetoxy)-4-oxo-3-[2-(2-oxo-3-phenyl-
imidazolidin-1-yl)-propionylamino]-pentanoic acid;

5-(Naphthalen-1-yl-acetoxy)-4-oxo-3-[2-(2-oxo-3-phenyl-
tetrahydro-pyrimidin-1-yl)-propionylamino]-pentanoic acid;

5-(Naphthalen-1-yl-acetoxy)-4-oxo-3-[2-(2-oxo-3-phenyl-
tetrahydro-pyrimidin-1-yl)-acetylamino]-pentanoic acid;

3-(2-Acetylamino-3-methyl-butyrylamino)-5-(naphthalen-1-yl-
acetoxy)-4-oxo-pentanoic acid;

3-(2-Acetylamino-3-methyl-butyrylamino)-5-(2-benzyl-3-phenyl-
propionyloxy)-4-oxo-pentanoic acid;

3-(2-Acetylamino-3-methyl-butyrylamino)-5-(3-benzyl-4-phenyl-
butyryloxy)-4-oxo-pentanoic acid;

3-(2-Acetylamino-3-methyl-butyrylamino)-5-(4-benzyl-5-phenyl-
pentanoyloxy)-4-oxo-pentanoic acid;

3-(2-Acetylamino-3-methyl-butyrylamino)-4-oxo-5-[(1-oxo-
1,2,3,4-tetrahydro-naphthalen-2-yl)-acetoxy]-pentanoic acid;

5-(3-Benzyl-4-phenyl-butyryloxy)-3-[3-methyl-2-(3-phenyl-
propionylamino)-butyrylamino]-4-oxo-pentanoic acid;

3-[2-(3-Acetylamino-2-oxo-2H-pyridin-1-yl)-acetylamino]-5-(3,3-
diphenyl-propionyloxy)-4-oxo-pentanoic acid; and

3-[2-(3-Acetylamino-2-oxo-2H-pyridin-1-yl)-acetylamino]-5-(2-
benzyl-3-phenyl-propionyloxy)-4-oxo-pentanoic acid.

36. The compounds:

3-[2-(2-Benzoyloxycarbonylamino-4-carboxy-butyrylamino)-
3-methyl-butyrylamino]-5-(naphthalen-1-yl-acetoxy)-4-oxo-pentanoic
acid;

3-[2-(2-Benzoyloxycarbonylamino-3-methyl-butyrylamino)-
propionylamino]-5-(naphthalen-1-yl-acetoxy)-4-oxo-pentanoic acid;

3-(2-Acetylamino-3-methyl-butyrylamino)-5-(naphthalen-1-yl-
acetoxy)-4-oxo-pentanoic acid;

3-[2-(2-Benzoyloxycarbonylamino-3-methyl-butyrylamino)-
propionylamino]-5-(3,3-diphenyl-propionyloxy)-4-oxo-pentanoic acid;

3-[2-(2-Benzoyloxycarbonylamino-3-methyl-butyrylamino)-
propionylamino]-5-(2-benzyl-3-phenyl-propionyloxy)-4-oxo-pentanoic
acid;

3-[2-(2-Benzoyloxycarbonylamino-3-methyl-butyrylamino)-
propionylamino]-5-(naphthalen-1-yl-acetoxy)-4-oxo-pentanoic acid;

5-(2-Benzyl-3-phenyl-propionyloxy)-3-{2-[4-carboxy-2-(3-phenyl-
propionylamino)-butyrylamino]-3-methyl-butyrylamino}-4-oxo-pentanoic
acid;

3-(2-Benzoyloxycarbonylamino-3-methyl-butyrylamino)-
5-(3,3-diphenyl-propionyloxy)-4-oxo-pentanoic acid;

3-(2-Acetylamino-3-hydroxy-butyrylamino)-5-(naphthalen-1-yl-
acetoxy)-4-oxo-pentanoic acid;

3-(2-Acetylamino-3-hydroxy-butyrylamino)-5-(3,3-diphenyl-
propionyloxy)-4-oxo-pentanoic acid;

3-(2-{2-[2-Acetylamino-3-(1H-indol-3-yl)-propionylamino]-
4-carboxy-butyrylamino}-3-methyl-butyrylamino)-5-(2-benzyl-3-phenyl-
propionyloxy)-4-oxo-pentanoic acid; and

5-(3,3-Diphenyl-propionyloxy)-4-oxo-3-[2-(4-phenyl-
butyrylamino)-propionylamino]-pentanoic acid.

37. The compounds:

3-(2-{2-[2-Acetylamino-3-(1H-indol-3-yl)-propionylamino]-4-carboxy-butyrylamino}-3-methyl-butyrylamino)-5-(naphthalen-1-yl-acetoxy)-4-oxo-pentanoic acid; and

5

3-(2-{2-[2-Acetylamino-3-(4-hydroxy-phenyl)-propionylamino]-4-carboxy-butyrylamino}-3-methyl-butyrylamino)-5-(naphthalen-1-yl-acetoxy)-4-oxo-pentanoic acid.

38. The compounds:

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3-[(2-Carboxy-cyclohexanecarbonyl)-amino]-5-(naphthalen-1-yl-acetoxy)-4-oxo-pentanoic acid;

3-[(2-Methoxycarbonyl-cyclohexanecarbonyl)-amino]-5-(naphthalen-1-yl-acetoxy)-4-oxo-pentanoic acid; and

3-[(2-Carbamoyl-cyclohexanecarbonyl)-amino]-5-(naphthalen-1-yl-acetoxy)-4-oxo-pentanoic acid.

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39. The compounds:

3-(3-Benzylsulfanyl-2-methyl-propionylamino)-5-(naphthalen-1-yl-acetoxy)-4-oxo-pentanoic acid;

3-(2-Methyl-3-phenylmethanesulfonyl-propionylamino)-5-(naphthalen-1-yl-acetoxy)-4-oxo-pentanoic acid;

20

3-[3-(2-Carboxy-ethanesulfanyl)-2-methyl-propionylamino]-5-(naphthalen-1-yl-acetoxy)-4-oxo-pentanoic acid;

5-(2-Benzyl-3-phenyl-propionyloxy)-3-[3-(2-carboxy-ethanesulfonyl)-2-methyl-propionylamino]-4-oxo-pentanoic acid;

25

5-(2-Benzyl-3-phenyl-propionyloxy)-3-[3-(3-carboxy-propane-1-sulfinyl)-2-methyl-propionylamino]-4-oxo-pentanoic acid;

5-(Naphthalen-1-yl-acetoxy)-4-oxo-3-(2-phenylmethanesulfanyl-propionylamino)-pentanoic acid;

3-(2-Methyl-3-phenylsulfanyl-propionylamino)-5-(naphthalen-1-yl-acetoxy)-4-oxo-pentanoic acid;

5-(2-Benzyl-3-phenyl-propionyloxy)-3-(2-methyl-3-phenylsulfanyl-propionylamino)-4-oxo-pentanoic acid;

3-(2-Methyl-3-phenethylsulfanyl-propionylamino)-5-(naphthalen-1-yl-acetoxy)-4-oxo-pentanoic acid;

5 5-(2-Benzyl-3-phenyl-propionyloxy)-3-(2-methyl-3-phenethylsulfanyl-propionylamino)-4-oxo-pentanoic acid;

5-(2-Benzyl-3-phenyl-propionyloxy)-3-(3-benzylsulfanyl-2-methyl-propionylamino)-4-oxo-pentanoic acid;

10 5-(2-Benzyl-3-phenyl-propionyloxy)-3-(2-benzylsulfanyl-propionylamino)-4-oxo-pentanoic acid;

3-[2-Methyl-3-(3-phenyl-propylsulfanyl)-propionylamino]-5-(naphthalen-1-yl-acetoxy)-4-oxo-pentanoic acid;

3-(3-Benzenesulfonyl-2-methyl-propionylamino)-5-(naphthalen-1-yl-acetoxy)-4-oxo-pentanoic acid;

15 3-(3-Benzenesulfonyl-2-methyl-propionylamino)-5-(2-benzyl-3-phenyl-propionyloxy)-4-oxo-pentanoic acid;

5-(2-Benzyl-3-phenyl-propionyloxy)-3-[2-methyl-3-(2-phenyl-ethanesulfonyl)-propionylamino]-4-oxo-pentanoic acid;

20 3-[2-Methyl-3-(2-phenyl-ethanesulfonyl)-propionylamino]-5-(naphthalen-1-yl-acetoxy)-4-oxo-pentanoic acid;

5-(Naphthalen-1-yl-acetoxy)-4-oxo-3-(2-phenylmethanesulfonyl-propionylamino)-pentanoic acid;

25 5-(2-Benzyl-3-phenyl-propionyloxy)-3-(2-methyl-3-phenylmethanesulfonyl-propionylamino)-4-oxo-pentanoic acid;

5-(2-Benzyl-3-phenyl-propionyloxy)-4-oxo-3-(2-phenylmethanesulfonyl-propionylamino)-pentanoic acid;

3-[2-Methyl-3-(3-phenyl-propane-1-sulfonyl)-propionylamino]-5-(naphthalen-1-yl-acetoxy)-4-oxo-pentanoic acid;

30 5-(2-Benzyl-3-phenyl-propionyloxy)-3-[2-methyl-3-(3-phenyl-propane-1-sulfonyl)-propionylamino]-4-oxo-pentanoic acid;

5-(2-Benzyl-3-phenyl-propionyloxy)-3-[3-(2-carboxy-ethylsulfanyl)-2-methyl-propionylamino]-4-oxo-pentanoic acid;

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3-[3-(3-Carboxy-propylsulfanyl)-2-methyl-propionylamino]-
5-(naphthalen-1-yl-acetoxy)-4-oxo-pentanoic acid;

5-(2-Benzyl-3-phenyl-propionyloxy)-3-[3-(3-carboxy-
propylsulfanyl)-2-methyl-propionylamino]-4-oxo-pentanoic acid;

5 3-(3-Carboxymethylsulfanyl-2-methyl-propionylamino)-
5-(naphthalen-1-yl-acetoxy)-4-oxo-pentanoic acid;

5-(2-Benzyl-3-phenyl-propionyloxy)-3-(3-carboxymethylsulfanyl-
2-methyl-propionylamino)-4-oxo-pentanoic acid;

10 3-[3-(2-Carboxy-ethanesulfonyl)-2-methyl-propionylamino]-
5-(naphthalen-1-yl-acetoxy)-4-oxo-pentanoic acid;

3-[3-(3-Carboxy-propane-1-sulfonyl)-2-methyl-propionylamino]-
5-(naphthalen-1-yl-acetoxy)-4-oxo-pentanoic acid;

3-(3-Carboxymethanesulfonyl-2-methyl-propionylamino)-
5-(naphthalen-1-yl-acetoxy)-4-oxo-pentanoic acid;

15 5-(2-Benzyl-3-phenyl-propionyloxy)-3-[3-(3-carboxy-propane-
1-sulfonyl)-2-methyl-propionylamino]-4-oxo-pentanoic acid;

5-(2-Benzyl-3-phenyl-propionyloxy)-3-(3-carboxymethanesulfonyl-
2-methyl-propionylamino)-4-oxo-pentanoic acid;

20 3-[3-(3-Carboxy-propane-1-sulfinyl)-2-methyl-propionylamino]-
5-(naphthalen-1-yl-acetoxy)-4-oxo-pentanoic acid;

3-[2-Methyl-3-(3-phenyl-propane-1-sulfinyl)-propionylamino]-
5-(naphthalen-1-yl-acetoxy)-4-oxo-pentanoic acid; and

5-(2-Benzyl-3-phenyl-propionyloxy)-3-[2-methyl-3-(3-phenyl-
propane-1-sulfinyl)-propionylamino]-4-oxo-pentanoic acid.

25 40. The compounds:

3-[3-Methyl-2-(phenethylcarbamoyl-methyl)-butyrylamino]-5-
(naphthalen-1-yl-acetoxy)-4-oxo-pentanoic acid; and

3-(3-Carboxy-2-methyl-propionylamino)-5-(naphthalen-1-yl-
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41. The compound:

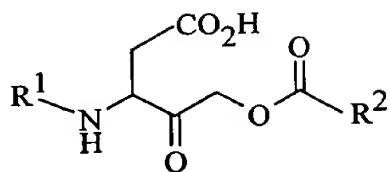
3-(2-Methyl-3-sulfamoyl-propionylamino)-5-(naphthalen-1-yl-acetoxy)-4-oxo-pentanoic acid.

42. The compounds:

- 5 3-(3-Carbamoyl-2-methyl-propionylamino)-5-(naphthalen-1-yl-acetoxy)-4-oxo-pentanoic acid;
- 3-(2-Benzylloxycarbonylamino-3-methyl-naphthalen-1-yl-acetoxy)-4-oxo-pentanoic acid;
- 10 3-[(2-Carbamoyl-cyclopentanecarbonyl)-amino]-5-(naphthalen-1-yl-acetoxy)-4-oxo-pentanoic acid;
- 3-[(1-Carbamoyl-pyrrolidine-2-carbonyl)-amino]-5-(naphthalen-1-yl-acetoxy)-4-oxo-pentanoic acid;
- 15 3-(2-{2-[2-Acetylamino-3-(4-hydroxy-phenyl)-propionylamino]-4-carboxy-butyrylamino}-3-methyl-butyrylamino)-5-(2-benzyl-3-phenyl-propionyloxy)-4-oxo-pentanoic acid;
- 3-(3-Carbamoyl-2-methyl-propionylamino)-5-(naphthalen-1-yl-acetoxy)-4-oxo-pentanoic acid;
- 3-(2-Carbamoylmethyl-3-methyl-butyrylamino)-5-(naphthalen-1-yl-acetoxy)-4-oxo-pentanoic acid;
- 20 3-(3-Benzyl-2-ureido-propionylamino)-5-(naphthalen-1-yl-acetoxy)-4-oxo-pentanoic acid;
- 3-[2-(2-Benzylloxycarbonylamino-4-carboxy-butyrylamino)-3-methyl-butyrylamino]-5-(2-benzyl-3-phenyl-propionyloxy)-4-oxo-pentanoic acid;
- 25 3-{2-[4-Carboxy-2-(3-phenyl-propionylamino)-butyrylamino]-3-methyl-butyrylamino}-5-(naphthalen-1-yl-acetoxy)-4-oxo-pentanoic acid; and
- 3-[2-(2-Acetylamino-4-carboxy-butyrylamino)-3-methyl-butyrylamino]-5-(naphthalen-1-yl-acetoxy)-4-oxo-pentanoic acid.

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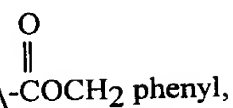
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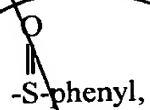
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wherein R¹ is

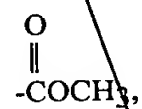
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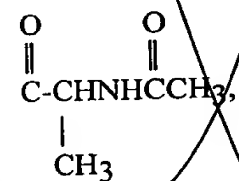
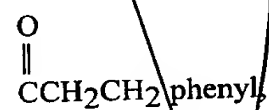
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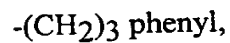
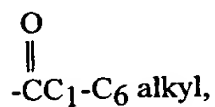
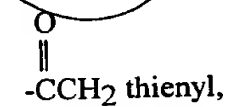
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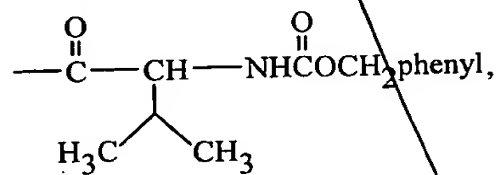
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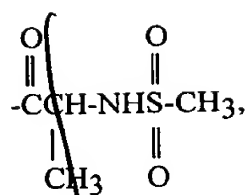


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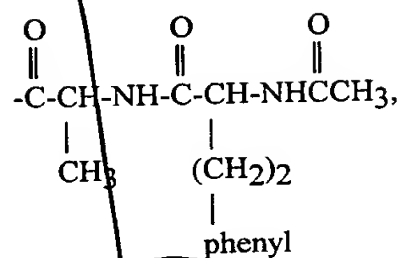


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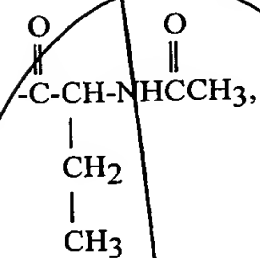
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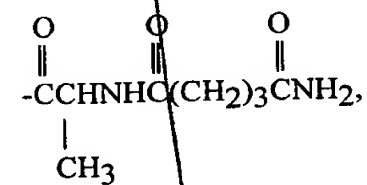
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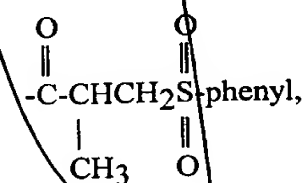
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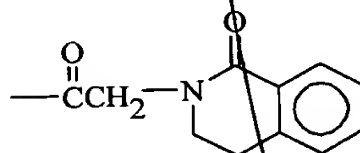
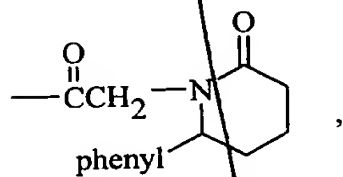
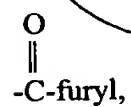
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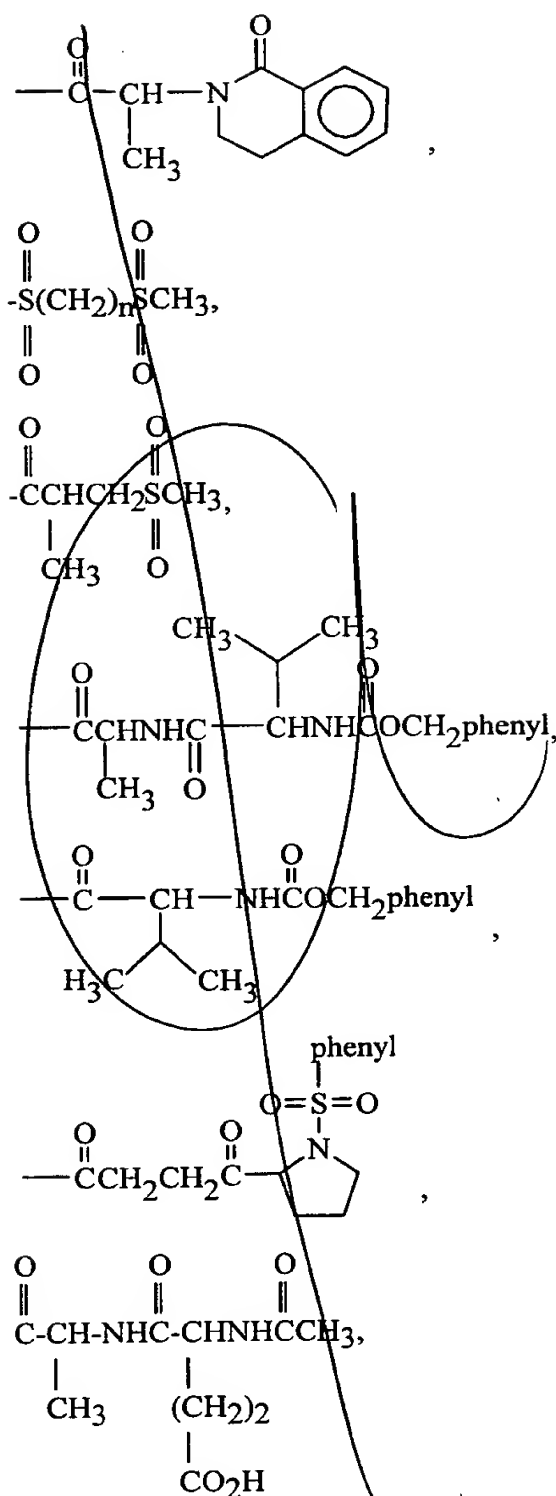
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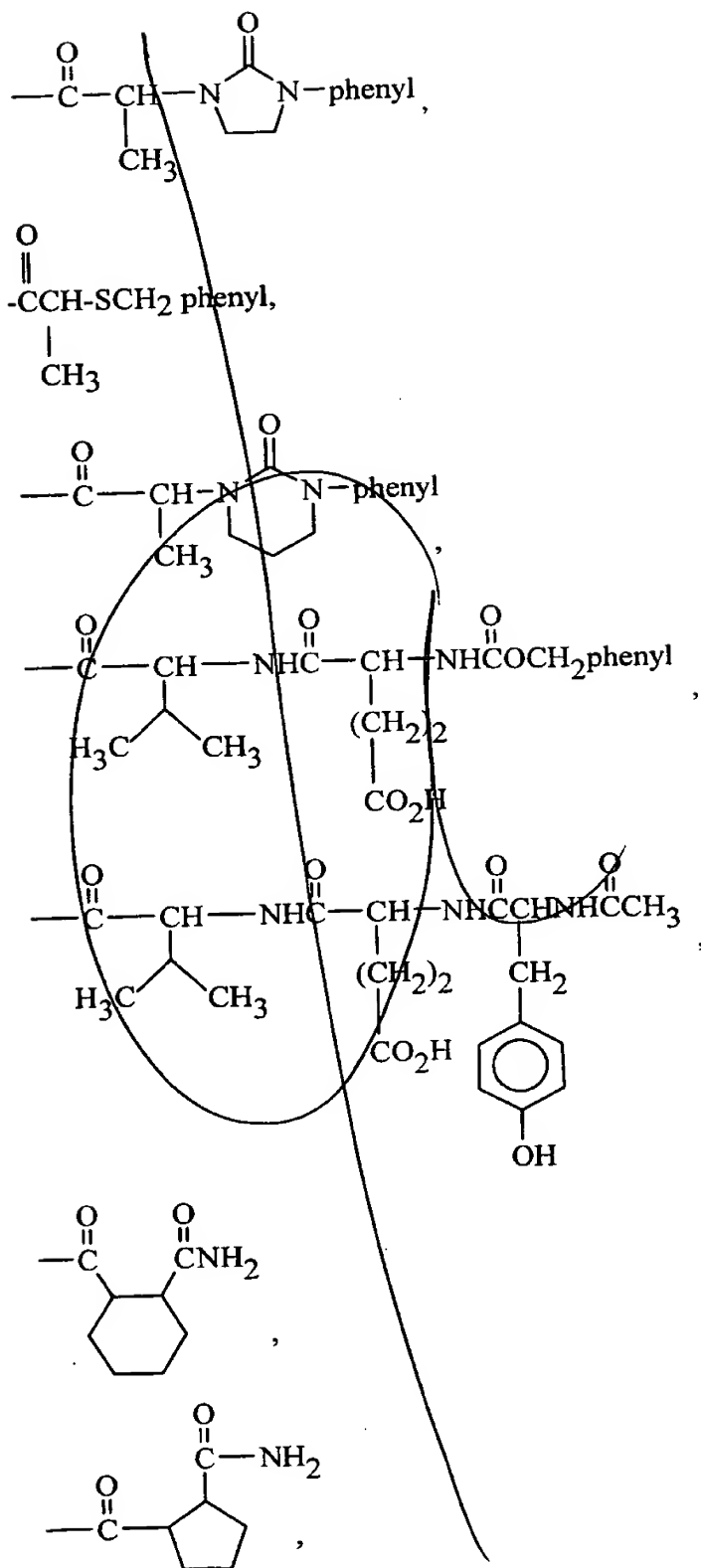


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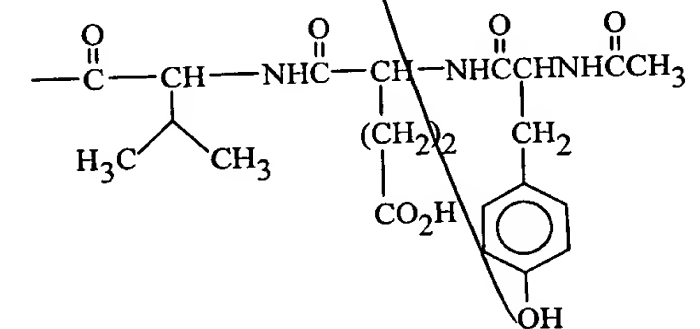
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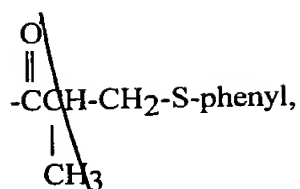


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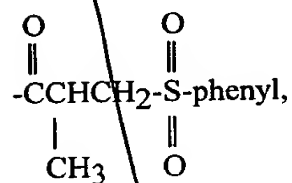
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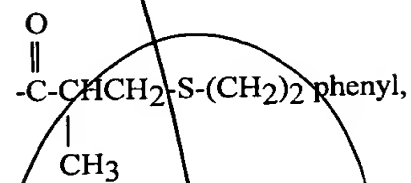
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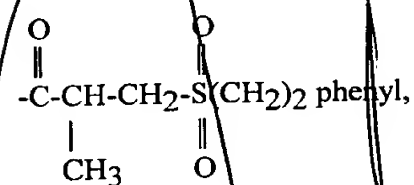
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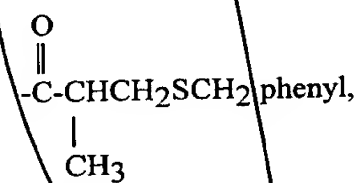
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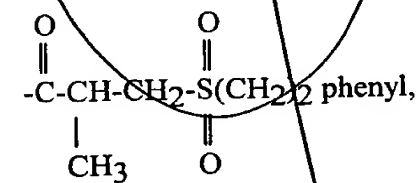
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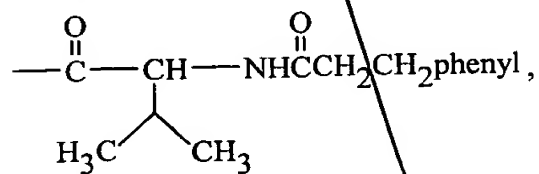
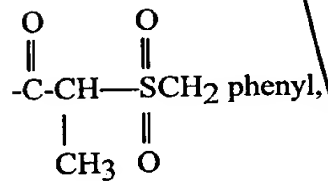
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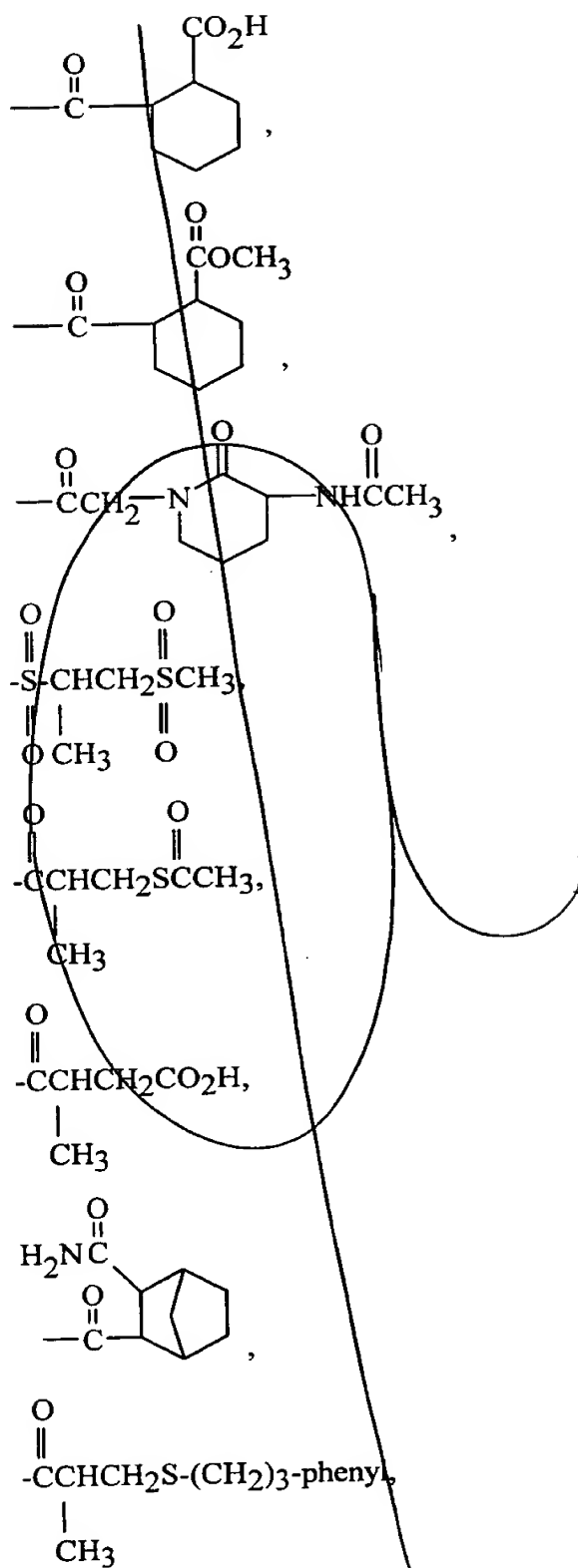


30

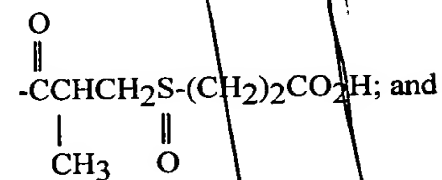
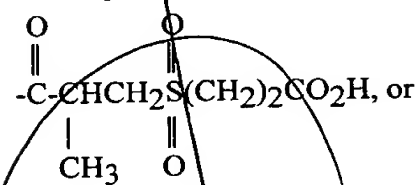
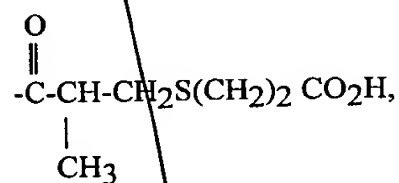
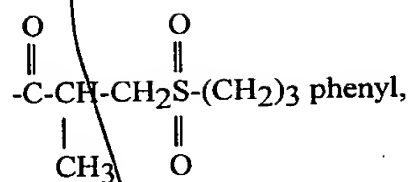


35





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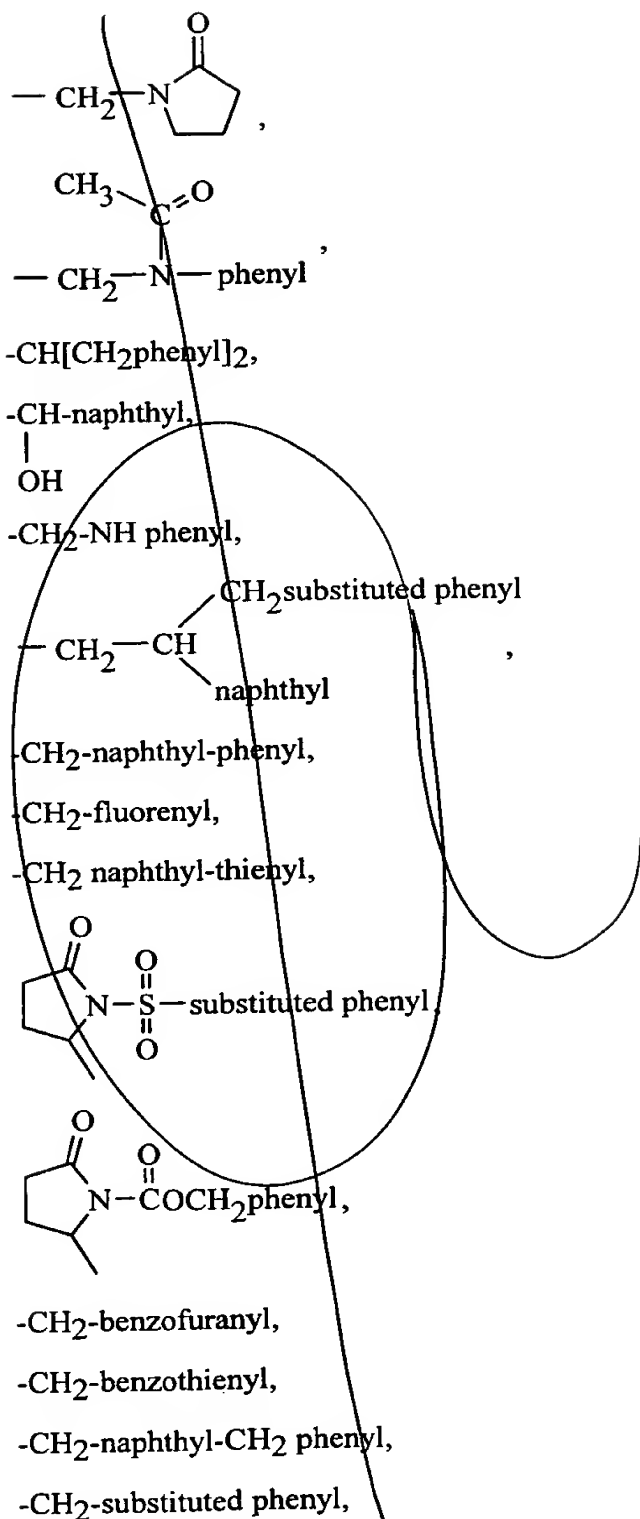
R² is-CH₂CH₂ phenyl,-CH₂ naphthyl,-CH₂CH₂ cyclohexyl,-CH₂O naphthyl,-CH₂O phenyl,-CH₂S-phenyl,-CH₂-substituted naphthyl,-CH₂CH(phenyl)₂,-CH₂-imidazole,-(CH₂)₃-phenyl,

-CH-naphthyl,

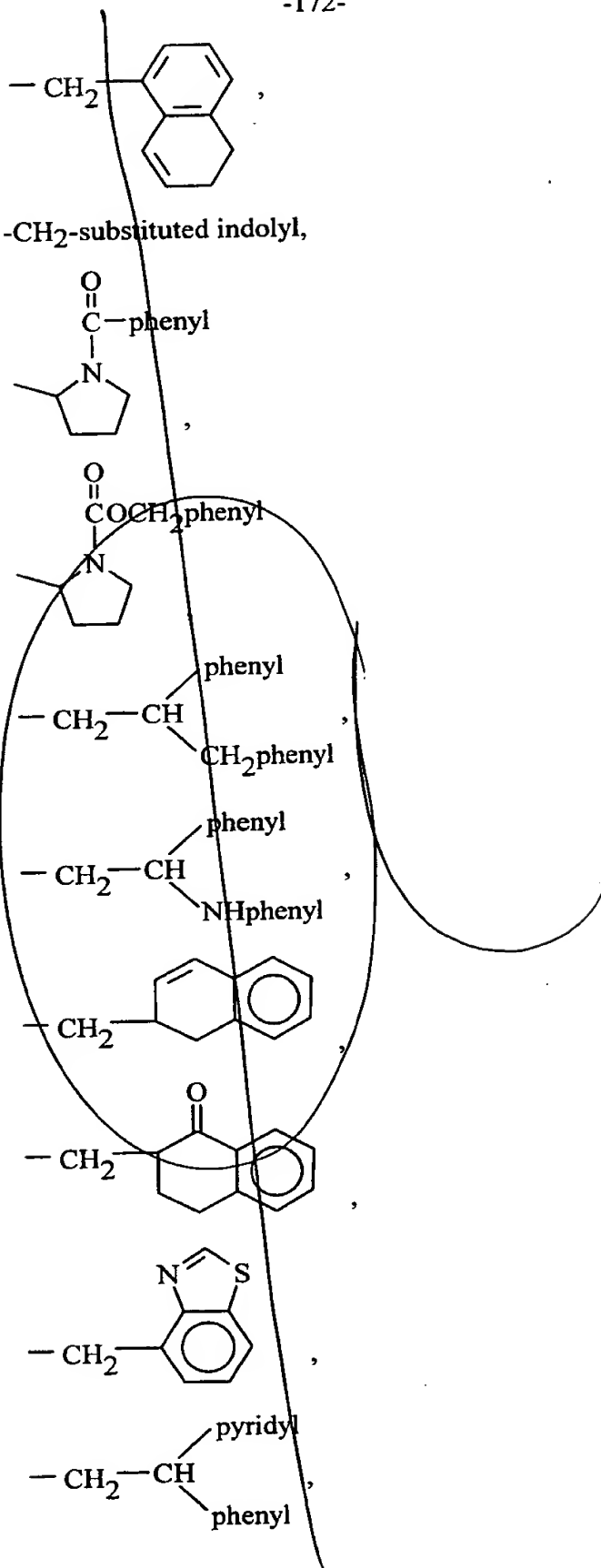
$$\begin{array}{c} | \\ \text{CH}_3 \end{array}$$

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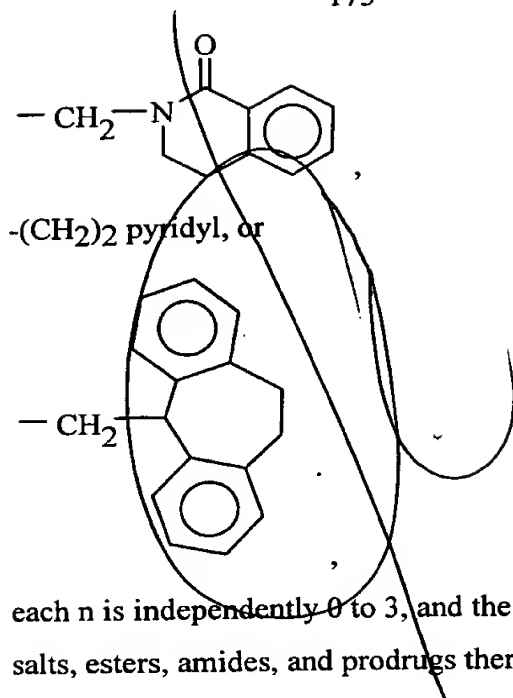
-171-



-172-



-173-



5

add
a²

add
a³

add
a⁴

add
a⁵

add
a⁶

add
a⁷

add
B³

add
a⁵

add
a³